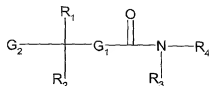


Claims

We Claim:

1. A compound of Formula (I):



(I)

wherein

G₁ comprises C₁-C₆ alkylene or (CH₂)_k, where k is 0 to 3;G₂ comprises a) hydrogenb) -C₁₋₆ alkyl;

c) -aryl;

d) -C₁₋₆ alkylaryl ;

e)

where R₅ and R₆ independently comprise

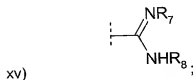
i) -H;

ii) -C₁₋₆ alkyl;

iii) -aryl;

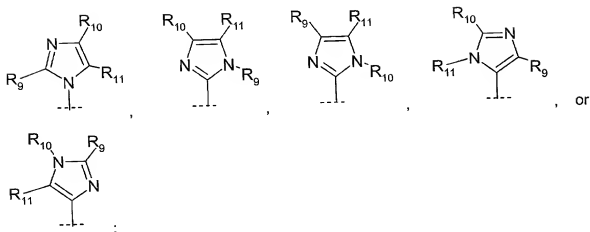
iv) -C₁₋₆ alkylaryl;v) -C(O)-O-C₁₋₆ alkyl;vi) -C(O)-O-C₁₋₆ alkylaryl;vii) -C(O)-O-C₁₋₆ alkylcycloalkylaryl;

- viii) $-C(O)-NH-C_{1-6}$ alkyl;
 ix) $-C(O)-NH-C_{1-6}$ alkylaryl;
 x) $-SO_2-C_{1-6}$ alkyl;
 xi) $-SO_2-C_{1-6}$ alkylaryl;
 xii) $-SO_2$ -aryl;
 xiii) $-SO_2-NH-C_{1-6}$ alkyl;
 xiv) $-SO_2-NH-C_{1-6}$ alkylaryl;



- xvi) $-C(O)-C_{1-6}$ alkyl; or
 xvii) $-C(O)-C_{1-6}$ alkylaryl; or

f) a group of the formula



wherein

R_9 , R_{10} , and R_{11} may comprise hydrogen; or

R_9 , R_{10} , and R_{11} independently comprise

- i) $-C_{1-6}$ alkyl;
 ii) $-$ aryl;
 iii) $-C_{1-6}$ alkylaryl;

5

- iv) $-\text{C}(\text{O})-\text{O}-\text{C}_{1-6}$ alkyl;
- v) $-\text{C}(\text{O})-\text{O}-\text{C}_{1-6}$ alkylaryl;
- vi) $-\text{C}(\text{O})-\text{NH}-\text{C}_{1-6}$ alkyl;
- vii) $-\text{C}(\text{O})-\text{NH}-\text{C}_{1-6}$ alkylaryl;
- viii) $-\text{SO}_2-\text{C}_{1-6}$ alkyl;
- ix) $-\text{SO}_2-\text{C}_{1-6}$ alkylaryl;
- x) $-\text{SO}_2$ -aryl;
- xi) $-\text{SO}_2-\text{NH}-\text{C}_{1-6}$ alkyl;
- xii) $-\text{SO}_2-\text{NH}-\text{C}_{1-6}$ alkylaryl;
- xiii) $-\text{C}(\text{O})-\text{C}_{1-6}$ alkyl; or
- xiv) $-\text{C}(\text{O})-\text{C}_{1-6}$ alkylaryl;

10

R_{10} and R_{11} may be taken together to constitute a fused cycloalkyl, fused heterocyclyl, or fused aryl ring containing the atoms to which R_{10} and R_{11} are bonded;

15

R_1 comprises

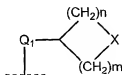
- a) hydrogen;
- b) $-\text{C}_{1-6}$ alkyl;
- c) -aryl; or
- d) $-\text{C}_{1-6}$ alkylaryl;

20

R_2 comprises

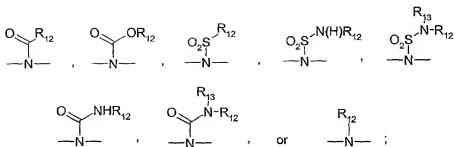
- a) $-\text{C}_{1-6}$ alkyl;
- b) -aryl;
- c) $-\text{C}_{1-6}$ alkylaryl; or
- d) a group of the formula

25



30

wherein m and n are independently selected from 1, 2, 3, or 4; X comprises a direct bond, CH_2 -, $-\text{O}-$, $-\text{S}-$, $-\text{S}(\text{O}_2)-$, $-\text{C}(\text{O})-$, $-\text{CON}(\text{H})-$, $-\text{NHC}(\text{O})-$, $-\text{NHCON}(\text{H})-$, $-\text{NHSO}_2$ -, $-\text{SO}_2\text{N}(\text{H})$ -, $-\text{C}(\text{O})-\text{O}-$, $-\text{O}-\text{C}(\text{O})-$, $-\text{NHSO}_2\text{NH}-$,



-Q₁- comprises C₁₋₆ alkylene, C₂₋₆ alkenylene, or C₂₋₆ alkynylene;

5 R₃ comprises

- a) hydrogen;
- b) -C₁₋₆ alkyl;
- c) -C₁₋₆ alkylaryl; or
- d) -C₁₋₆ alkoxyaryl;

10

R₄ comprises

- a) -C₁₋₆ alkylaryl;
- b) -C₁₋₆ alkoxyaryl; or
- c) -aryl;

15

R₇, R₈, R₁₂ and R₁₃ independently comprise hydrogen, C₁-C₆ alkyl, C₁-C₆ alkylaryl, or aryl; and wherein

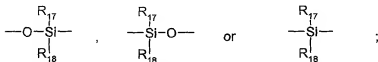
the aryl and/or alkyl group(s) in R₁, R₂, R₃, R₄, R₅, R₆, R₇, R₈, R₉, R₁₀, R₁₁, R₁₂, and R₁₃ may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups comprising:

20

- a) -H;
- b) -Y-C₁₋₆ alkyl;
- Y-aryl;
- Y-C₁₋₆ alkylaryl;
- Y-C₁₋₆-alkyl-NR₁₄R₁₅;
- Y-C₁₋₆-alkyl-W-R₁₆;

25

wherein Y and W independently comprise $-\text{CH}_2-$, $-\text{O}-$, $-\text{N}(\text{H})-$, $-\text{S}-$, SO_2- , $-\text{CON}(\text{H})-$, $-\text{NHC}(\text{O})-$, $-\text{NHCON}(\text{H})-$, $-\text{NHSO}_2-$, $-\text{SO}_2\text{N}(\text{H})-$, $-\text{C}(\text{O})-\text{O}-$, $-\text{NHSO}_2\text{NH}-$, $-\text{O}-\text{CO}-$,

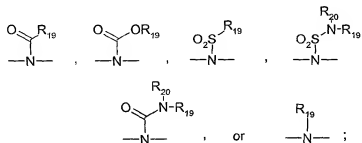


R_{16} , R_{17} , and R_{18} comprise hydrogen, aryl, C_1 - C_6 alkyl, C_1 - C_6 alkylaryl, C_1 - C_6 alkoxy, or C_1 - C_6 alkoxyaryl; or

c) halogen, hydroxyl, cyano, carbamoyl, or carboxyl; and

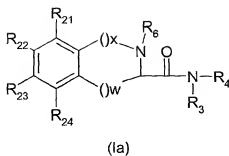
R_{14} and R_{15} independently comprise hydrogen, aryl, C_1 - C_6 alkyl, or C_1 - C_6 alkylaryl; and wherein

R_{14} and R_{15} may be taken together to form a ring having the formula $-(\text{CH}_2)_o-\text{Z}-(\text{CH}_2)_p-$ bonded to the nitrogen atom to which R_{14} and R_{15} are attached, and/or R_7 and R_8 may, independently, be taken together to form a ring having the formula $-(\text{CH}_2)_o-\text{Z}-(\text{CH}_2)_p-$ bonded to the atoms to which R_7 and R_8 are attached, wherein o and p are, independently, 1, 2, 3, or 4; Z comprises a direct bond, $-\text{CH}_2-$, $-\text{O}-$, $-\text{S}-$, $-\text{S}(\text{O}_2)-$, $-\text{C}(\text{O})-$, $-\text{CON}(\text{H})-$, $-\text{NHC}(\text{O})-$, $-\text{NHCON}(\text{H})-$, $-\text{NHSO}_2-$, $-\text{SO}_2\text{N}(\text{H})-$, $-\text{C}(\text{O})-\text{O}-$, $-\text{O}-\text{C}(\text{O})-$, $-\text{NHSO}_2\text{NH}-$,



R_{19} and R_{20} independently comprise hydrogen, aryl, C_1 - C_6 alkyl, or C_1 - C_6 alkylaryl.

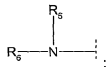
2. The compound of claim 1, represented by Formula (Ia)



wherein G₁ comprises a direct bond;

5

G₂ comprises



R₁ comprises H;

10

() comprises a -CH₂- group or a direct covalent bond, and x and w are independently equal to 0 to 2, with the proviso that x and w can not both be equal to 0;

R₃ comprises

15

- a) hydrogen;
- b) -C₁₋₆ alkyl;
- c) -C₁₋₆ alkylaryl; or
- d) -C₁₋₆ alkoxyaryl;

R₄ comprises

20

- a) -C₁₋₆ alkylaryl;
- b) -C₁₋₆ alkoxyaryl; or
- c) -aryl;

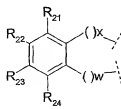
R₅ comprises

25

- a) -H;
- b) -C₁₋₆ alkyl;
- c) -aryl;
- d) -C₁₋₆ alkylaryl; or

- e) a group selected from $-\text{C}(\text{O})\text{R}_{25}$, $-\text{C}(\text{O})\text{OR}_{25}$, $-\text{C}(\text{O})\text{NR}_{25}\text{R}_{25}$, $-\text{S}(\text{O})_2\text{R}_{25}$, and $-\text{S}(\text{O})_2\text{NR}_{25}\text{R}_{25}$; wherein R_{25} and R_{26} independently comprise $-\text{C}_{1-6}$ alkyl, aryl, or $-\text{C}_{1-6}$ alkylaryl;

R_5 and R_2 are taken together to form a ring of structure



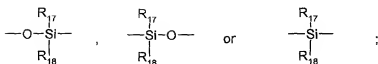
wherein R_{21} , R_{22} , R_{23} and R_{24} independently comprise

- i) $-\text{H}$;
- ii) $-\text{C}_{1-6}$ alkyl;
- iii) $-\text{aryl}$;
- iv) $-\text{C}_{1-6}$ alkylaryl; or
- v) a group of the formula $-\text{U}-\text{R}_{27}$, wherein U comprises $-\text{C}(\text{O})-$, $-\text{C}(\text{O})\text{O}-$, $-\text{O}-$, $-\text{S}-$, $-\text{S}(\text{O})-$, $-\text{S}(\text{O})_2-$, or $-\text{NR}_{28}-$, wherein R_{27} and R_{28} independently comprise $-\text{H}$, $-\text{aryl}$, $-\text{C}_{1-6}$ alkyl, or $-\text{C}_{1-6}$ alkylaryl;

the aryl and/or alkyl group(s) in R_3 , R_4 , and R_5 may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups comprising:

- a) $-\text{H}$;
- b) $-\text{Y}-\text{C}_{1-6}$ alkyl;
- $-\text{Y}-\text{aryl}$;
- $-\text{Y}-\text{C}_{1-6}$ alkylaryl;
- $-\text{Y}-\text{C}_{1-6}$ alkyl- $\text{NR}_{14}\text{R}_{15}$;
- $-\text{Y}-\text{C}_{1-6}$ alkyl- $\text{W}-\text{R}_{16}$;

wherein Y and W independently comprise $-\text{CH}_2-$, $-\text{O}-$, $-\text{N}(\text{H})-$, $-\text{S}-$, SO_2- , $-\text{CON}(\text{H})-$, $-\text{NHC}(\text{O})-$, $-\text{NHCON}(\text{H})-$, $-\text{NHCO}_2-$, $-\text{SO}_2\text{N}(\text{H})-$, $-\text{C}(\text{O})-\text{O}-$, $-\text{NHCO}_2\text{NH}-$, $-\text{O}-\text{CO}-$,

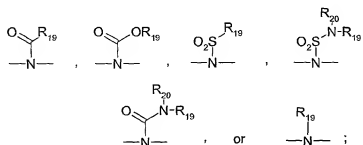


R₁₆, R₁₇, and R₁₈ independently comprise hydrogen, aryl, C₁-C₆ alkyl, C₁-C₆ alkylaryl, C₁-C₆ alkoxy, or C₁-C₆ alkoxyaryl; or

5 c) halogen, hydroxyl, cyano, carbamoyl, or carboxyl; and

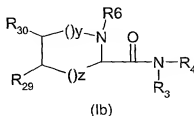
R₁₄ and R₁₅ independently comprise hydrogen, aryl, C₁-C₆ alkyl, and C₁-C₃ alkylaryl; or wherein

R₁₄ and R₁₅ may be taken together to form a ring having the formula $-(CH_2)_o-Z-(CH_2)_p-$ bonded to the nitrogen atom to which R₁₄ and R₁₅ are attached, wherein o and p are, independently, 1, 2, 3, or 4; Z comprises a direct bond, $-CH_2-$, $-O-$, $-S-$, $-S(O_2)-$, $-C(O)-$, $-CON(H)-$, $-NHC(O)-$, $-NHCON(H)-$, $-NHSO_2-$, $-SO_2N(H)-$, $-C(O)-O-$, $-O-C(O)-$, $-NHSO_2NH-$,

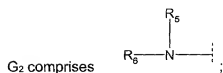


R₁₉ and R₂₀ comprise hydrogen, aryl, C₁-C₆ alkyl, or C₁-C₆ alkylaryl.

15 3. The compound of claim 1, represented by Formula (Ib)



wherein,
G₁ comprises a direct bond;



R₁ comprises H;

() comprises a -CH₂- group or a direct covalent bond, and y and z are, independently, an integer of from 0 to 3;

R₃ comprises

- a) hydrogen;
- b) -C₁₋₆ alkyl;
- c) -C₁₋₆ alkylaryl; or
- d) -C₁₋₆ alkoxyaryl;

R₄ comprises

- a) -C₁₋₆ alkylaryl;
- b) -C₁₋₆ alkoxyaryl; or
- c) -aryl;

R₆ comprises

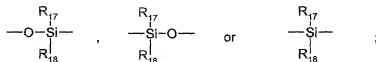
- a) -H;
- b) -C₁₋₆ alkyl;
- c) -aryl;
- d) -C₁₋₆ alkylaryl; or
- e) a group selected from -C(O)R₂₅, -C(O)OR₂₅, -C(O)NR₂₆R₂₅, -S(O)₂R₂₅, and -S(O)₂NR₂₆R₂₅; wherein R₂₅ and R₂₆ independently comprise -C₁₋₆ alkyl, aryl, or -C₁₋₆ alkylaryl;

the aryl and/or alkyl group(s) in R₃, R₄, and R₆ may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups comprising:

- a) -H;
- b) -Y-C₁₋₆ alkyl;
- Y-aryl;

- Y-C₁₋₆ alkylaryl;
 -Y-C₁₋₆-alkyl-NR₁₄R₁₅;
 -Y-C₁₋₆-alkyl-W-R₁₆;

- 5 wherein Y and W independently comprise -CH₂-, -O-, -N(H)-, -S-, SO₂-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO₂-, -SO₂N(H)-, -C(O)-O-, -NHSO₂NH-, -O-CO-,

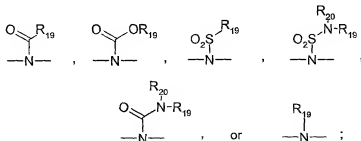


- 10 R₁₆, R₁₇, and R₁₈ comprise hydrogen, aryl, C₁-C₆ alkyl, C₁-C₆ alkylaryl, C₁-C₆ alkoxy, or C₁-C₆ alkoxyaryl; or

c) halogen, hydroxyl, cyano, carbamoyl, or carboxyl; and

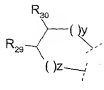
R₁₄ and R₁₅ independently comprise hydrogen, aryl, C₁-C₆ alkyl, or C₁-C₆ alkylaryl; and
 15 wherein

R₁₄ and R₁₅ may be taken together to form a ring having the formula -(CH₂)_q-Z-(CH₂)_p- bonded to the nitrogen atom to which R₁₄ and R₁₅ are attached, wherein o and p are, independently, 1, 2, 3, or 4; Z comprises a direct bond, -CH₂-, -O-, -S-, -S(O₂)-, -C(O)-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO₂-, -SO₂N(H)-, -C(O)-O-, -O-C(O)-, -NHSO₂NH-,



- 20 R₁₉ and R₂₀ comprise hydrogen, aryl, C₁-C₆ alkyl, or C₁-C₆ alkylaryl;

R₅ and R₂ are taken together to form a ring of structure



wherein R_{29} and R_{30} independently comprise

- a) -H
- b) $-C_{1-6}$ alkyl;
- c) -aryl;
- d) $-C_{1-6}$ alkylaryl;
- e) $-C(O)-O-C_{1-6}$ alkyl;
- f) $-C(O)-O-C_{1-6}$ alkylaryl;
- g) $-C(O)-NH-C_{1-6}$ alkyl;
- h) $-C(O)-NH-C_{1-6}$ alkylaryl;
- i) $-SO_2-C_{1-6}$ alkyl;
- j) $-SO_2-C_{1-6}$ alkylaryl;
- k) $-SO_2$ -aryl;
- l) $-SO_2-NH-C_{1-6}$ alkyl;
- m) $-SO_2-NH-C_{1-6}$ alkylaryl;
- n) $-C(O)-C_{1-6}$ alkyl;
- o) $-C(O)-C_{1-6}$ alkylaryl; or
- p) a group of the formula $-V-R_{31}$,
 wherein V comprises a group of the formula $-C(O)$, $-OC(O)$, $-O-$, $-S-$, $-S(O)-$, $-S(O)_2-$, $-NH-$, or $-N(R_{32})-$;

wherein R_{31} and R_{32} comprise

- i) -H
- ii) $-C_{1-6}$ alkyl;
- iii) -aryl;
- iv) $-C_{1-6}$ alkylaryl;
- v) $-C(O)-O-C_{1-6}$ alkyl;
- vi) $-C(O)-O-C_{1-6}$ alkylaryl;
- vii) $-C(O)-NH-C_{1-6}$ alkyl; $-C(O)-NH-C_{1-6}$ alkylaryl;
- viii) $-SO_2-C_{1-6}$ alkyl;
- ix) $-SO_2-C_{1-6}$ alkylaryl;
- x) $-SO_2$ -aryl;

- xi) $-\text{SO}_2-\text{NH}-\text{C}_{1-6}$ alkyl;
- xii) $-\text{SO}_2-\text{NH}-\text{C}_{1-6}$ alkylaryl;
- xiii) $-\text{C}(\text{O})-\text{C}_{1-6}$ alkyl; or
- xiv) $-\text{C}(\text{O})-\text{C}_{1-6}$ alkylaryl;

5

wherein R_{29} , R_{30} , R_{31} , and R_{32} may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups comprising:

a) $-\text{H}$;

b) $-\text{L}-\text{C}_{1-6}$ alkyl;

$-\text{L}$ -aryl;

$-\text{L}-\text{C}_{1-6}$ alkylaryl;

$-\text{L}-\text{C}_{1-6}$ -alkyl- $\text{NR}_{33}\text{R}_{34}$;

$-\text{L}-\text{C}_{1-6}$ alkyl- Q_2-R_{35} ;

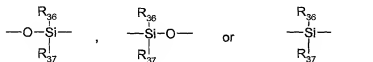
wherein L and Q_2 independently comprise $-\text{CH}_2-$, $-\text{O}-$, $-\text{N}(\text{H})-$,

$\text{S}-$, SO_2- , $-\text{CON}(\text{H})-$, $-\text{NHC}(\text{O})-$, $-\text{NHCON}(\text{H})-$,

NHSO_2- , $-\text{SO}_2\text{N}(\text{H})-$, $-\text{C}(\text{O})-\text{O}-$, $-\text{NHSO}_2\text{NH}-$, $-\text{O}-\text{CO}-$,

10

15



R_{35} , R_{36} , and R_{37} comprise hydrogen, aryl, C_{1-6} alkyl, C_{1-6} alkylaryl, C_{1-6} alkoxy, or C_{1-6} alkoxyaryl; or

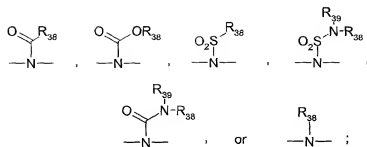
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c) halogen, hydroxyl, cyano, carbamoyl, or carboxyl; and

R_{33} and R_{34} independently comprise hydrogen, aryl, C_{1-6} alkyl, or C_{1-6} alkylaryl; and wherein

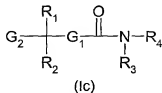
25

R_{33} and R_{34} may be taken together to form a ring having the formula $-(\text{CH}_2)_e-\text{J}-(\text{CH}_2)_k-$ bonded to the nitrogen atom to which R_{33} and R_{34} are attached, wherein e and k are, independently, 1, 2, 3, or 4; J comprises a direct bond, $-\text{CH}_2-$, $-\text{O}-$, $-\text{S}-$, $-\text{S}(\text{O}_2)-$, $-\text{C}(\text{O})-$, $-\text{CON}(\text{H})-$, $-\text{NHC}(\text{O})-$, $-\text{NHCON}(\text{H})-$, $-\text{NHSO}_2-$, $-\text{SO}_2\text{N}(\text{H})-$, $-\text{C}(\text{O})-\text{O}-$, $-\text{O}-\text{C}(\text{O})-$, $-\text{NHSO}_2\text{NH}-$,



R₃₈ and R₃₉ comprises hydrogen, aryl, C₁-C₈ alkyl, or C₁-C₈ alkylaryl.

4. The compound of claim 1, represented by Formula (Ic):

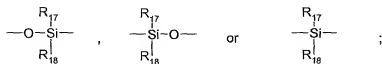


wherein,

R₁ comprises hydrogen, or C₁₋₃ alkylaryl wherein the aryl is substituted with -Y-C₁₋₆ alkylaryl;

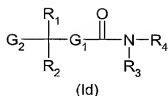
R₂ comprises C₁₋₃ alkylaryl wherein the aryl is substituted with -Y-C₁₋₆ alkylaryl,

wherein Y comprises -CH₂-, -O-, -N(H)-, -S-, SO₂-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO₂-, -SO₂N(H)-, -C(O)-O-, -NHSO₂NH-, -O-CO-,



R₁₇, and R₁₈ independently comprises hydrogen, aryl, C₁-C₆ alkyl, C₁-C₆ alkylaryl, C₁-C₆ alkoxy, or C₁-C₆ alkoxyaryl.

5. The compound of claim 1, represented by Formula (Id):

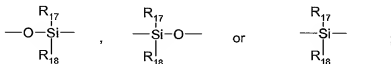


wherein,

R_1 comprises hydrogen, or C_{1-3} alkylaryl wherein the aryl is substituted with $-Y-C_{1-6}$ alkylaryl;

R_2 comprises C_{1-3} alkylaryl wherein the aryl is substituted with $-Y-C_{1-6}$ alkylaryl;

wherein Y comprises $-CH_2-$, $-O-$, $-N(H)-$, $-S-$, SO_2- , $-CON(H)-$, $-NHC(O)-$, $-NHCON(H)-$, $-NHSO_2-$, $-SO_2N(H)-$, $-C(O)-O-$, $-NHSO_2NH-$, $-O-CO-$,

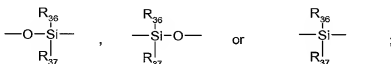


R_{17} , and R_{18} independently comprises hydrogen, aryl, C_1-C_6 alkyl, C_1-C_6 alkylaryl, C_1-C_6 alkoxy, or C_1-C_6 alkoxyaryl;

R_3 comprises hydrogen or $-L-C_{1-6}$ -alkyl-N(alkyl)₂;

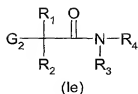
R_4 comprises $-L-C_{1-6}$ -alkyl-N(alkyl)₂;

wherein L comprises $-CH_2-$, $-O-$, $-N(H)-$, $-S-$, SO_2- , $-CON(H)-$, $-NHC(O)-$, $-NHCON(H)-$, $-NHSO_2-$, $-SO_2N(H)-$, $-C(O)-O-$, $-NHSO_2NH-$, $-O-CO-$,



R_{35} , R_{36} , and R_{37} independently comprise hydrogen, aryl, C_1-C_6 alkyl, C_1-C_6 alkylaryl, C_1-C_6 alkoxy, or C_1-C_6 alkoxyaryl.

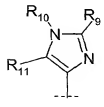
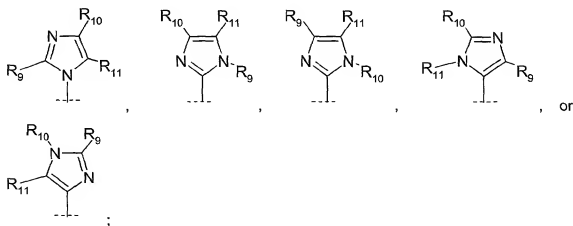
6. The compound of claim 1, represented by Formula (Ie):



wherein,

5 G_1 comprises a direct bond;

G_2 comprises a group of the formula



wherein

R_9 , R_{10} , and R_{11} may be hydrogen; or

R_9 , R_{10} , and R_{11} independently comprise

- i) $-\text{C}_{1-6}$ alkyl;
- ii) $-\text{aryl}$;
- iii) $-\text{C}_{1-6}$ alkylaryl;
- iv) $-\text{C}(\text{O})-\text{O}-\text{C}_{1-6}$ alkyl;
- v) $-\text{C}(\text{O})-\text{O}-\text{C}_{1-6}$ alkylaryl;
- vi) $-\text{C}(\text{O})-\text{NH}-\text{C}_{1-6}$ alkyl;
- vii) $-\text{C}(\text{O})-\text{NH}-\text{C}_{1-6}$ alkylaryl;
- viii) $-\text{SO}_2-\text{C}_{1-6}$ alkyl;
- ix) $-\text{SO}_2-\text{C}_{1-6}$ alkylaryl;
- x) $-\text{SO}_2-\text{aryl}$;
- xi) $-\text{SO}_2-\text{NH}-\text{C}_{1-6}$ alkyl;
- xii) $-\text{SO}_2-\text{NH}-\text{C}_{1-6}$ alkylaryl;

- xiii) $-\text{C}(\text{O})-\text{C}_{1-6}$ alkyl; or
 xiv) $-\text{C}(\text{O})-\text{C}_{1-6}$ alkylaryl; or

R_{10} and R_{11} may be taken together to constitute a fused cycloalkyl, fused heterocyclyl, or fused aryl ring containing the atoms to which R_{10} and R_{11} are bonded;

R_1 comprises H;

R_2 comprises

- a) $-\text{C}_{1-6}$ alkyl;
 b) $-\text{aryl}$; or
 c) $-\text{C}_{1-6}$ alkylaryl;

R_3 comprises

- a) hydrogen;
 b) $-\text{C}_{1-6}$ alkyl;
 c) $-\text{C}_{1-6}$ alkylaryl; or
 d) $-\text{C}_{1-6}$ alkoxyaryl;

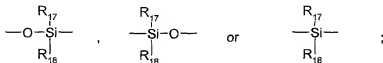
R_4 comprises

- a) $-\text{C}_{1-6}$ alkylaryl;
 b) $-\text{C}_{1-6}$ alkoxyaryl; or
 c) $-\text{aryl}$;

the aryl and/or alkyl group(s) in R_2 , R_3 , R_4 , R_9 , R_{10} , R_{11} may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups comprising:

- a) $-\text{H}$;
 b) $-\text{Y}-\text{C}_{1-6}$ alkyl;
 $-\text{Y}-\text{aryl}$;
 $-\text{Y}-\text{C}_{1-6}$ alkylaryl;
 $-\text{Y}-\text{C}_{1-6}-\text{alkyl}-\text{NR}_{14}\text{R}_{15}$;
 $-\text{Y}-\text{C}_{1-6}-\text{alkyl}-\text{W}-\text{R}_{16}$;

wherein Y and W independently comprise $-\text{CH}_2-$, $-\text{O}-$, $-\text{N}(\text{H})-$, $-\text{S}-$, SO_2- , $-\text{CON}(\text{H})-$, $-\text{NHC}(\text{O})-$, $-\text{NHCON}(\text{H})-$, $-\text{NHCO}_2-$, $-\text{SO}_2\text{N}(\text{H})-$, $-\text{C}(\text{O})-\text{O}-$, $-\text{NHCO}_2\text{NH}-$, $-\text{O}-\text{CO}-$,

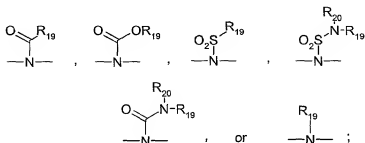


R_{16} , R_{17} , and R_{18} comprise hydrogen, aryl, C_1 - C_8 alkyl, C_1 - C_8 alkylaryl, C_1 - C_8 alkoxy, or C_1 - C_8 alkoxyaryl; or

c) halogen, hydroxyl, cyano, carbamoyl, or carboxyl; and

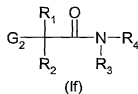
- 10 R_{14} and R_{15} independently comprise hydrogen, aryl, C_1 - C_8 alkyl, or C_1 - C_8 alkylaryl; and wherein

R_{14} and R_{15} may be taken together to form a ring having the formula $-(\text{CH}_2)_o-\text{Z}-(\text{CH}_2)_p-$ bonded to the nitrogen atom to which R_{14} and R_{15} are attached, wherein o and p are, independently, 1, 2, 3, or 4; Z comprises a direct bond, $-\text{CH}_2-$, $-\text{O}-$, $-\text{S}-$, $-\text{S}(\text{O}_2)-$, $-\text{C}(\text{O})-$, $-\text{CON}(\text{H})-$, $-\text{NHC}(\text{O})-$, $-\text{NHCON}(\text{H})-$, $-\text{NHCO}_2-$, $-\text{SO}_2\text{N}(\text{H})-$, $-\text{C}(\text{O})-\text{O}-$, $-\text{O}-\text{C}(\text{O})-$, $-\text{NHCO}_2\text{NH}-$,

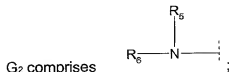


R_{19} and R_{20} independently comprise hydrogen, aryl, C_1 - C_8 alkyl, or C_1 - C_8 alkylaryl;

- 20 7. The compound of claim 1, represented by Formula (If):

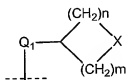


wherein,
G₁ comprises a direct bond;

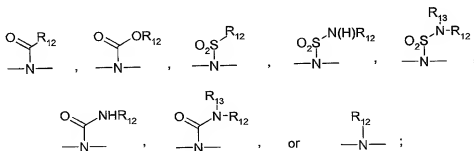


R₁ comprises H;

5 R₂ comprises a group of the formula



10 wherein m and n are independently selected from 1, 2, 3, or 4; X comprises a direct bond, CH₂-, -O-, -S-, -S(O₂)-, -C(O)-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO₂-, -SO₂N(H)-, -C(O)-O-, -O-C(O)-, -NHSO₂NH-,



-Q₁- comprises C₁₋₆ alkylene, C₂₋₆ alkenylene, or C₂₋₆ alkynylene;

15

R₁₂ and R₁₃ independently comprises hydrogen, C₁₋₆ alkyl, C₁₋₆ alkylaryl, or aryl; and wherein

R₃ comprises

20

- hydrogen;
- C₁₋₆ alkyl;
- C₁₋₆ alkylaryl; or
- C₁₋₆ alkoxyaryl;

R₄ comprises

- a) -C₁₋₆ alkylaryl;
- b) -C₁₋₆ alkoxyaryl; or
- c) -aryl;

5 R₅ and R₆ independently comprise

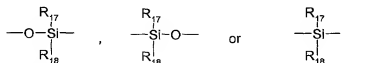
- a) -H;
- b) -C₁₋₆ alkyl;
- c) -aryl;
- d) -C₁₋₆ alkylaryl; or

10 e) a group selected from -C(O)R₂₅, -C(O)OR₂₅, -C(O)NR₂₆R₂₅, -S(O)₂R₂₅, and -S(O)₂NR₂₆R₂₅; wherein R₂₅ and R₂₆ independently comprise -C₁₋₆ alkyl, aryl, and -C₁₋₆ alkylaryl;

15 the aryl and/or alkyl group(s) in R₃, R₄, R₅, R₆, R₁₂, and R₁₃ may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups comprising:

- a) -H;
- b) -Y-C₁₋₆ alkyl;
- Y-aryl;
- Y-C₁₋₆ alkylaryl;
- Y-C₁₋₆-alkyl-NR₁₄R₁₅;
- Y-C₁₋₆-alkyl-W-R₁₆;

25 wherein Y and W independently comprise -CH₂-, -O-, -N(H)-, -S-, -SO₂-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO₂-, -SO₂N(H)-, -C(O)-O-, -NHSO₂NH-, -O-CO-,

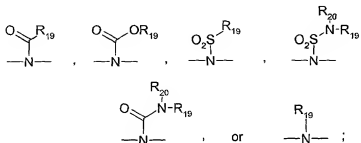


30 R₁₆, R₁₇, and R₁₈ independently comprise hydrogen, aryl, C_{1-C₆} alkyl, C_{1-C₆} alkylaryl, C_{1-C₆} alkoxy, or C_{1-C₆} alkoxyaryl; or

c) halogen, hydroxyl, cyano, carbamoyl, or carboxyl; and

R₁₄ and R₁₅ independently comprises hydrogen, aryl, C₁-C₈ alkyl, or C₁-C₈ alkylaryl; and wherein

- 5 R₁₄ and R₁₅ may be taken together to form a ring having the formula $-(CH_2)_p-Z-(CH_2)_p-$ bonded to the nitrogen atom to which R₁₄ and R₁₅ are attached, wherein o and p are, independently, 1, 2, 3, or 4; Z comprises a direct bond, $-CH_2-$, $-O-$, $-S-$, $-S(O)_2-$, $-C(O)-$, $-CON(H)-$, $-NHC(O)-$, $-NHCON(H)-$, $-NHSO_2-$, $-SO_2N(H)-$, $-C(O)-O-$, $-O-C(O)-$, $-NHSO_2NH-$,



R₁₉ and R₂₀ independently comprise hydrogen, aryl, C₁-C₈ alkyl, or C₁-C₈ alkylaryl.

10

8. The compound of claim 1, wherein the compound comprises 3-(4-Benzyloxyphenyl)propionic Acid 2,4-Di-(3-Diethylamino-1-propoxy)aniline Amide.

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9. The compound of claim 1, wherein the compound comprises 3-(3-Tert-butoxyphenyl)-3-(9-fluorenylmethoxycarbonylamino)propionic Acid 2,4-Di-(3-diethylaminopropoxy)aniline Amide.

20

10. The compound of claim 1, wherein the compound comprises 3-(3-Tert-butoxyphenyl)-3-aminopropionic Acid 2,4-Di-(3-diethylaminopropoxy)aniline Amide.

11. The compound of claim 1, wherein the compound comprises 3-(4-Benzyloxyphenyl)propionic Acid 2,4-Di-(3-Diethylamino-1-propoxy)aniline Amide.

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12. The compound of claim 1, wherein the compound comprises 3-(3-Tert-butoxyphenyl)-3-(9-fluorenylmethoxycarbonylamino)propionic Acid 2,4-Di-(3-diethylaminopropoxy)aniline Amide.

13. The compound of claim 1, wherein the compound comprises 3-(3-Tert-butoxyphenyl)-3-aminopropionic Acid 2,4-Di-(3-diethylaminopropoxy)aniline Amide.

14. The compound of claim 1, wherein the compound comprises 3-(4-Tetrahydropyranyl)-2-aminopropionic Acid 4-Diethylaminoethoxycarbonyl-2-butoxyaniline Amide Dihydrochloride.

15. The compound of claim 1, wherein the compound comprises (2S, 4R)-4-Tert-Butoxypyrrolidine-2-carboxylic acid 2,4-Di(3-diethylamino-1-propoxy)aniline Amide.

16. The compound of claim 1, wherein the compound comprises (3S)-1,2,3,4-Tetrahydroisoquinoline-3-carboxylic Acid 4-Diethylaminoethoxycarbonyl-2-butoxyaniline Amide Dihydrochloride.

17. The compound of claim 1, wherein the compound comprises (R)-3-(4-Benzyloxyphenyl)-2-(1-imidazolyl)propionic Acid 4-Diethylaminoethoxycarbonyl-2-butoxyaniline Amide.

18. The compound of claim 1, wherein the compound comprises 3-(4-Tert-butoxyphenyl)-3-(9-fluorenylmethoxycarbonylamino)propionic Acid 2,4-Di-(3-diethylaminopropoxy)aniline Amide.

19. The compound of claim 1, wherein the compound comprises 3-amino-3-(4-tert-butoxyphenyl)propionic Acid 2,4-Di-(3-diethylaminopropoxy)aniline Amide.

20. The compound of claim 1, wherein the compound comprises 3-(9-fluorenylmethoxycarbonylamino)-3-(2-tert-butoxyphenyl)propionic Acid 2,4-Di-(3-diethylaminopropoxy)aniline Amide.

21. The compound of claim 1, wherein the compound comprises 3-amino-3-(2-tert-butoxyphenyl)propionic Acid 2,4-Di-(3-diethylaminopropoxy)aniline Amide.

22. The compound of claim 1, wherein the compound comprises 3-Isopropylamino-3-(3-tert-butoxyphenyl)propionic Acid 2,4-Di-(3-diethylaminopropoxy)aniline Amide.

23. The compound of claim 1, wherein the compound comprises (2R)-2-tert-butoxycarbonylamino-3-[4-(benzyloxy)phenyl]propionic Acid 4-(3-diethylaminopropoxy)-N-benzylaniline Amide.

24. The compound of claim 1, wherein the compound comprises (2R)-2-tert-butoxycarbonylamino-3-[4-(benzyloxy)phenyl]propionic Acid 4-(3-diethylaminopropoxy)-N-cyclopentylmethylaniline Amide.

25. The compound of claim 1, wherein the compound comprises (2R)-2-tert-butoxycarbonylamino-3-[4-(benzyloxy)phenyl]propionic Acid 4-(3-diethylaminopropoxy)-N-isopropylaniline Amide.

26. The compound of claim 1, wherein the compound comprises (2R)-2-amino-3-[4-(benzyloxy)phenyl]propionic Acid 4-(3-diethylaminopropoxy)-N-cyclohexylmethylaniline Amide.

27. The compound of claim 1, wherein the compound comprises (2R)-2-amino-3-[4-(benzyloxy)phenyl]propionic Acid 4-(3-diethylaminopropoxy)-N-cyclopentylmethylaniline Amide.

28. The compound of claim 1, wherein the compound comprises (2R)-2-tert-butoxycarbonylamino-3-[4-(benzyloxy)phenyl]propionic Acid 4-(3-diethylaminopropoxy)-N-butaniline Amide.

29. The compound of claim 1, wherein the compound comprises (2R)-2-amino-3-[4-(benzyloxy)phenyl]propionic Acid 4-(3-diethylaminopropoxy)-N-butaniline Amide.

30. The compound of claim 1, wherein the compound comprises (2R)-2-tert-butoxycarbonylamino-3-[4-(benzyloxy)phenyl]propionic Acid 3-(3-diethylaminopropoxy)-N-butaniline Amide.

31. The compound of claim 1, wherein the compound comprises (2R)-2-amino-3-[4-(benzyloxy)phenyl]propionic Acid 3-(3-diethylaminopropoxy)-N-butaniline Amide.

32. The compound of claim 1, wherein the compound comprises 3-(1-Tert-butoxycarbonylpiperidin-4-yl)-2-(9-fluorenylmethoxycarbonylamino)propionic Acid 4-Diethylaminopropoxy-2-butoxyaniline Amide.

33. The compound of claim 1, wherein the compound comprises 3-(Piperidin-4-yl)-2-(9-fluorenylmethoxycarbonylamino)propionic Acid 4-Diethylaminopropoxy-2-butoxyaniline Amide.

34. The compound of claim 1, wherein the compound comprises 3-(1-Benzylpiperidin-4-yl)-2-(9-fluorenylmethoxycarbonylamino)propionic Acid 4-Diethylaminopropoxy-2-butoxyaniline Amide.

35. The compound of claim 1, wherein the compound comprises 3-(1-Benzylpiperidin-4-yl)-2-aminopropionic Acid 4-Diethylaminopropoxy-2-butoxyaniline Amide.

36. The compound of claim 1, wherein the compound comprises 3-(1-Benzoyloxycarbonylpiperidin-4-yl)-2-(9-fluorenylmethoxycarbonylamino)propionic Acid 4-Diethylaminopropoxy-2-butoxyaniline Amide.

37. The compound of claim 1, wherein the compound comprises 3-(1-Benzoylpiperidin-4-yl)-2-(9-fluorenylmethoxycarbonylamino)propionic Acid 4-Diethylaminopropoxy-2-butoxyaniline Amide.

38. The compound of claim 1, wherein the compound comprises 3-(1-Benzoylpiperidin-4-yl)-2-benzoylamino)propionic Acid 4-Diethylaminopropoxy-2-butoxyaniline Amide.

39. The compound of claim 1, wherein the compound comprises 3-(Tert-butoxycarbonylpiperidin-3-yl)-2-(9-fluorenylmethoxycarbonylamino)propionic Acid 4-Diethylaminopropoxy-2-butoxyaniline Amide.

40. The compound of claim 1, wherein the compound comprises 3-(Piperidin-3-yl)-2-(9-fluorenylmethoxycarbonylamino)propionic Acid 4-Diethylaminopropoxy-2-butoxyaniline Amide.

41. A pharmaceutical composition comprising the compound of Formula (I) as claimed in claim 1, and one or more pharmaceutically acceptable carriers, excipients, or diluents.

42. The pharmaceutical composition of claim 41, in the form of an oral dosage or parenteral dosage unit.

43. The pharmaceutical composition of claim 41, wherein said compound is administered as a dose in a range from about 0.01 to 500 mg/kg of body weight per day.

44. The pharmaceutical composition of claim 41, wherein said compound is administered as a dose in a range from about 0.1 to 200 mg/kg of body weight per day.

45. The pharmaceutical composition of claim 41, wherein said compound is administered as a dose in a range from about 0.1 to 100 mg/kg of body weight per day.

46. The pharmaceutical composition of claim 41, further comprising one or more therapeutic agents selected from the group consisting of alkylating agents, antimetabolites, plant alkaloids, antibiotics, hormones, biologic response modifiers, analgesics, NSAIDs, DMARDs, glucocorticoids, sulfonyleureas, biguanides, insulin, cholinesterase inhibitors, antipsychotics, antidepressants, and anticonvulsants.

47. A method for the inhibition of the interaction of RAGE with its physiological ligands, which comprises administering to a subject in need thereof, at least one compound of Formula (I) as claimed in claim 1.

48. The method of claim 47, wherein the ligand(s) is(are) selected from advanced glycated end products (AGEs), S100/calgranulin/EN-RAGE, β -amyloid and amphoterin.

49. A method for treating a disease state selected from the group consisting of acute and chronic inflammation, symptoms of diabetes, vascular permeability, nephropathy, atherosclerosis, retinopathy, Alzheimer's disease, erectile dysfunction, and tumor invasion and/or metastasis, which comprises administering to a subject in need thereof a therapeutically effective amount of at least one compound of Formula (I) as claimed in claim 1.

50. A method of prevention and/or treatment of RAGE mediated human diseases comprising administration to a human in need thereof a therapeutically effective amount of a compound of Formula (I) as claimed in claim 1, wherein a therapeutically effective amount

comprises sufficient compound to at least partially inhibit the binding of a ligand to the RAGE receptor.

51. The method of claim 50, further comprising administering to a subject in need thereof at least one adjuvant and/or additional therapeutic agent(s).

52. A method of claim 51, wherein therapeutic agents selected from the group consisting of alkylating agents, antimetabolites, plant alkaloids, antibiotics, hormones, biologic response modifiers, analgesics, NSAIDs, DMARDs, glucocorticoids, sulfonyleureas, biguanides, insulin, cholinesterase inhibitors, antipsychotics, antidepressants, and anticonvulsants.

53. The method claim 50, wherein the RAGE mediated human disease comprises acute and/or chronic inflammation.

54. The method claim 50, wherein the RAGE mediated human disease comprising vascular permeability.

55. The method claim 50, wherein the RAGE mediated human disease comprising ephropathy.

56. The method claim 50, wherein the RAGE mediated human disease comprising atherosclerosis.

57. The method claim 50, wherein the RAGE mediated human disease comprising retinopathy.

58. The method claim 50, wherein the RAGE mediated human disease comprising Alzheimer's disease.

59. The method claim 50, wherein the RAGE mediated human disease comprises erectile dysfunction.

60. The method claim 50, wherein the RAGE mediated human disease comprises tumor invasion and/or metastasis.